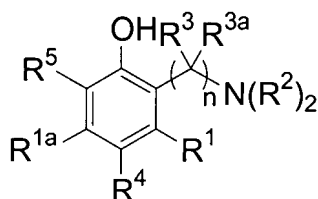


IN THE CLAIMS

The listing of the claims which follows replaces any and all prior versions and/or listings of the claims in the application. The term "original" herein refers to the claims pending upon entry into the national phase of international application no. PCT/US03/19393. Any amendments to the claims presented herein are with reference to the final set of claims in the international application, which claims include the changes set forth in the Amended Sheets in the IPER.

1. (original) A compound of formula I:



wherein,

R⁵ is hydrogen;

R^{1a} and R¹ independently are hydrogen, C₁₋₆ alkyl, halo, C₁₋₆ alkoxy, C₃₋₁₀ cycloalkyl, C₆₋₁₀ aryl, and trihalovinyl, said aryl optionally substituted with 1-3 groups of R^a;

R² is hydrogen, C₁₋₆ alkyl, and C₃₋₁₀ cycloalkyl; taken together with any intervening atoms can form a 3 to 7 membered carbocyclic or heterocyclic ring saturated or unsaturated, said heterocyclic ring containing 1-2 heteroatoms independently chosen from O, C(O), S, SO, SO₂, N, or NR^{2a} and optionally substituted by 1-3 R^a groups;

R^{2a} is hydrogen, and C₁₋₆ alkyl;

R³ and R^{3a} are independently hydrogen, halo, C₁₋₆ alkyl, C₃₋₁₀ cycloalkyl, and C₆₋₁₀ aryl, said aryl and alkyl optionally substituted with 1-3 groups of R^a; or

R³ and R^{3a} taken together with any intervening atoms can form a 3 to 7 membered carbocyclic or heterocyclic ring saturated or unsaturated, said heterocyclic ring containing 1-2 heteroatoms independently chosen from O, C(O), S, SO, SO₂, N, or NR^{2a} and optionally substituted by 1-3 R^a groups;

R⁴ is hydrogen, halo, C₁₋₆ alkyl, and trihaloalkyl;

R^a represents C₁₋₆ alkoxy, C₁₋₆ alkyl, CF₃, nitro, amino, cyano, C₁₋₆ alkylamino, or halogen;
and

n represents 1-3;

or a pharmaceutically acceptable salt, enantiomer, or diastereomer thereof.

2. (original) A compound according to claim 1 wherein R^{1a} and R¹ independently are hydrogen, tert-butyl, 1,2,2-trichlorovinyl, or phenyl.

3. (original) A compound according to claim 1 wherein R² is hydrogen or C₁₋₄ alkyl, and n is 1.

4. (original) A compound according to claim 1 wherein R^{1a} and R¹ independently are hydrogen, tert-butyl, 1,2,2-trichlorovinyl, or phenyl; R² is hydrogen or C₁₋₄ alkyl, and n is 1.

5. (original) A compound according to claim 4 wherein R^{1a} and R¹ are tert-butyl, and R² is hydrogen.

6. (original) A compound which is:
2-aminomethyl-5-tert-butyl-3-phenylphenol,
2-aminomethyl-5-tert-butyl-3-(4-methylphenyl)phenol,
3,5-di-tert-butyl-2-[(ethylamino)methyl]phenol,
3,5-di-tert-butyl-2-[1-(ethylamino)ethyl]phenol,
3,5-di-tert-butyl-2-[(methylamino)methyl]phenol,
3,5-bis(trichlorovinyl)-2-[(ethylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(propylamino)methyl]phenol,
2-[(ethylamino)methyl]-5-(trichlorovinyl)phenol,
3,5-di-tert-butyl-2-[(butylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(cyclohexylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(hexylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(octylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(2-hydroxyethylamino)methyl]phenol,
tert-butyl N-(2,4-di-tert-butyl-6-hydroxybenzyl)-beta-alaninate,

3,5-di-tert-butyl-2-[(2-dimethylaminoethylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(3-phenylpropylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(2-phenylethylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(allylamino)methyl]phenol,
5-tert-butyl-2-[(ethylamino)methyl]phenol,
2-[(benzylamino)methyl]-5-tert-butylphenol,
5-tert-butyl-2-[(cyclohexylamino)methyl]phenol,
2-[(cyclohexylamino)methyl]-5-(trichlorovinyl)phenol,
5-tert-butyl-2-{[(2-phenylethyl)amino]methyl}phenol,
2-[(benzylamino)methyl]-5-(trichlorovinyl)phenol,
3,5-di-tert-butyl-2-[(decylamino)methyl]phenol,
2-[(propylamino)methyl]-5-(trichlorovinyl)phenol,
5-tert-butyl-2-[(propylamino)methyl]phenol,
5-tert-butyl-2-[(cyclopropylamino)methyl]phenol,
5-tert-butyl-2-[(1,2,3,4-tetrahydronaphthalen-1-ylamino)methyl]phenol,
2-[(cyclopropylamino)methyl]-5-(trichlorovinyl)phenol,
2-[(isopropylamino)methyl]-5-(trichlorovinyl)phenol,
2-[(1,2,3,4-tetrahydronaphthalen-1-ylamino)methyl]-5-(trichlorovinyl)phenol,
2-[(2,3-dihydro-1H-inden-1-ylamino)methyl]-5-(trichlorovinyl)phenol,
3,5-di-tert-butyl-2-({[(1R)-1-phenylethyl]amino}methyl)phenol,
3,5-di-tert-butyl-2-({[(1-naphthylmethyl)amino]methyl}phenol,
3,5-di-tert-butyl-2-({[(2-naphthylmethyl)amino]methyl}phenol,
2-[(tert-butylamino)methyl]-5-(trichlorovinyl)phenol,
3,5-di-tert-butyl-2-[(1,2,3,4-tetrahydronaphthalen-2-ylamino)methyl]phenol,
2-[(cyclohexylamino)methyl]-3-(trichlorovinyl)phenol,
3,5-di-tert-butyl-2-[(2,3-dihydro-1H-inden-2-ylamino)methyl]phenol,
3,5-di-tert-butyl-2-({[(1R)-2,3-dihydro-1H-inden-1-ylamino]methyl}phenol,
3,5-di-tert-butyl-2-({[(1S)-2,3-dihydro-1H-inden-1-ylamino]methyl}phenol,
5-tert-butyl-2-[(2,3-dihydro-1H-inden-2-ylamino)methyl]phenol,
5-tert-butyl-2-({[(4-methoxybenzyl)amino]methyl}phenol,
5-tert-butyl-2-[(neopentylamino)methyl]phenol,
5-tert-butyl-2-({[(3,3-dimethylbutyl)amino]methyl}phenol,
5-tert-butyl-2-[2-(cyclohexylamino)ethyl]phenol,
5-tert-butyl-2-[(2,3-dihydro-1H-inden-1-ylamino)methyl]phenol,
5-tert-butyl-2-[(isopropylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(decahydronaphthalen-2-ylamino)methyl]phenol,

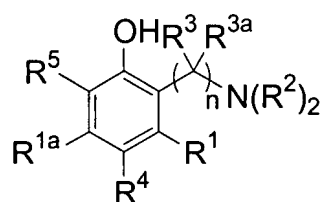
5-*tert*-butyl-2-[(isopentylamino)methyl]phenol,
5-*tert*-butyl-2-[(isobutylamino)methyl]phenol,
5-*tert*-butyl-2-(piperidin-1-ylmethyl)phenol,
3,5-di-*tert*-butyl-2-[[2-(pyridin-2-ylethyl)amino]methyl]phenol,
3,5-di-*tert*-butyl-2-[[2-(pyridin-3-ylethyl)amino]methyl]phenol,
3,5-di-*tert*-butyl-2-[[2-(pyridin-4-ylethyl)amino]methyl]phenol,
3,5-di-*tert*-butyl-2-[(tetrahydro-2H-pyran-4-ylamino)methyl]phenol,
3,5-di-*tert*-butyl-2-[(cyclohexylmethyl)amino]methyl]phenol,
2-(aminomethyl)-3,5-di-*tert*-butylphenol,
5-*tert*-butyl-2-[[3-(methoxybenzyl)amino]methyl]phenol,
2-(aminomethyl)-4-bromo-5-*tert*-butylphenol,
ethyl N-(2,4-ditert-butyl-6-hydroxybenzyl)-beta-alaninate,
3-*tert*-butyl-2-(piperidin-1-ylmethyl)phenol,
3-*tert*-butyl-2-[(cyclohexylamino)methyl]phenol,
2-[2-(cyclohexylamino)ethyl]-5-(trichlorovinyl)phenol,
3-*tert*-butyl-2-[2-(cyclohexylamino)ethyl]phenol,
3,5-di-*tert*-butyl-2-[[2-(tetrahydro-2H-pyran-2-ylmethyl)amino]methyl]phenol,
3,5-di-*tert*-butyl-2-[2-(cyclohexylamino)ethyl]phenol,
3,5-di-*tert*-butyl-2-[[3-(furylmethyl)amino]methyl]phenol,
2-[2-(benzylamino)ethyl]-3,5-ditert-butylphenol,
2-(Aminomethyl)-3-*tert*-butyl-5-methylphenol,
3-(Aminomethyl)-4,6-di-*tert*-butyl-4'-chlorobiphenyl-2-ol,
4,6-Di-*tert*-butyl-3-[(*tert*-butylamino)methyl]-4'-chlorobiphenyl-2-ol,
4,6-di-*Tert*-butyl-3-[(butylamino)methyl]-4'-chlorobiphenyl-2-ol,
4,6-Di-*tert*-butyl-4'-chloro-3-[(dimethylamino)methyl]biphenyl-2-ol,
4,6-Di-*tert*-butyl-4'-chloro-3-[(diethylamino)methyl]biphenyl-2-ol,
5-*Tert*-butyl-2-[2-(dimethylamino)ethyl]phenol,
5-*Tert*-butyl-2-[2-(methylamino)ethyl]phenol,
2-(2-Aminoethyl)-3,5-di-*tert*-butylphenol,
2-(Aminomethyl)-5-*tert*-butyl-3-methylphenol,
2-(Aminomethyl)-3,5-bis(trichlorovinyl)phenol,
2-(Aminomethyl)-5-(trichlorovinyl)phenol,
3,5-Di-*tert*-butyl-2-[[1,4-dioxan-2-ylmethyl)amino]methyl]phenol,
3,5-di-*tert*-butyl-2-([1,1-dioxidotetrahydro-3-thienyl)methyl]amino]methyl]phenol,
5-[[2,4-di-*tert*-butyl-6-hydroxybenzyl)amino]methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one,
2-(1-Aminoethyl)-3,5-di-*tert*-butylphenol,

3,5-Di-*tert*-butyl-2-[1-(ethylamino)ethyl]phenol,
3,5-Di-*tert*-butyl-2-[(propylamino)methyl]phenol,
3,5-Di-*tert*-butyl-2-[[pyrazin-2-ylmethyl]amino]methyl}phenol,
2-(aminomethyl)-3,5-di-*tert*-butylphenol hydrochloride,
2-Aminomethyl-5-*tert*-butylphenol hydrochloride,
or pharmaceutically acceptable salts thereof.

7. (original) A composition comprising a compound of claim 1 and a pharmaceutically acceptable salt thereof.

8. (original) A composition comprising a compound of claim 6 and a pharmaceutically acceptable salt thereof.

9. (currently amended) A method for the treatment of malaria which comprises administering to a patient in need of such treatment a compound of formula I:



wherein,

~~R⁵ is hydrogen;~~

R⁵, R^{1a} and R¹ independently are hydrogen, C₁₋₆ alkyl, halo, C₁₋₆ alkoxy, C₃₋₁₀ cycloalkyl, C₆₋₁₀ aryl, and trihalovinyl, said aryl optionally substituted with 1-3 groups of R^a;

R² is hydrogen, C₁₋₆ alkyl, and C₃₋₁₀ cycloalkyl; taken together with any intervening atoms can form a 3 to 7 membered carbocyclic or heterocyclic ring saturated or unsaturated, said heterocyclic ring containing 1-2 heteroatoms independently chosen from O, C(O), S, SO, SO₂, N, or NR^{2a} and optionally substituted by 1-3 R^a groups;

R^{2a} is hydrogen, and C₁₋₆ alkyl;

R³ and R^{3a} are independently hydrogen, halo, C₁₋₆ alkyl, C₃₋₁₀ cycloalkyl, and C₆₋₁₀ aryl, said aryl and alkyl optionally substituted with 1-3 groups of R^a; or

R³ and R^{3a} taken together with any intervening atoms can form a 3 to 7 membered carbocyclic or heterocyclic ring saturated or unsaturated, said heterocyclic ring containing 1-2 heteroatoms independently chosen from O, C(O), S, SO, SO₂, N, or NR^{2a} and optionally substituted by 1-3 R^a groups;

R⁴ is hydrogen, halo, C₁₋₆ alkyl, and trihaloalkyl;

R^a represents C₁₋₆ alkoxy, C₁₋₆ alkyl, CF₃, nitro, amino, cyano, C₁₋₆ alkylamino, or halogen; and

n represents 1-3;

or a pharmaceutically acceptable salt, enantiomer, or diastereomer thereof.

10. (currently amended) A method according to claim 9 wherein the compound is selected from the group consisting of:

2-aminomethyl-5-tert-butyl-3-phenylphenol,
2-aminomethyl-5-tert-butyl-3-(4-methylphenyl)phenol,
3,5-di-tert-butyl-2-[(ethylamino)methyl]phenol,
3,5-di-tert-butyl-2-[1-(ethylamino)ethyl]phenol,
3,5-di-tert-butyl-2-[(methylamino)methyl]phenol,
3,5-bis(trichlorovinyl)-2-[(ethylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(propylamino)methyl]phenol,
2-[(ethylamino)methyl]-5-(trichlorovinyl)phenol,
3,5-di-tert-butyl-2-[(butylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(cyclohexylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(hexylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(octylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(2-hydroxyethylamino)methyl]phenol,
tert-butyl N-(2,4-di-tert-butyl-6-hydroxybenzyl)-beta-alaninate,
3,5-di-tert-butyl-2-[(2-dimethylaminoethylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(3-phenylpropylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(2-phenylethylamino)methyl]phenol,

3,5-di-tert-butyl-2-[(allylamino)methyl]phenol,
5-tert-butyl-2-[(ethylamino)methyl]phenol,
2-[(benzylamino)methyl]-5-tert-butylphenol,
5-tert-butyl-2-[(cyclohexylamino)methyl]phenol,
2-[(cyclohexylamino)methyl]-5-(trichlorovinyl)phenol,
5-tert-butyl-2-{[(2-phenylethyl)amino]methyl}phenol,
2-[(benzylamino)methyl]-5-(trichlorovinyl)phenol,
3,5-di-tert-butyl-2-[(decylamino)methyl]phenol,
2-[(propylamino)methyl]-5-(trichlorovinyl)phenol,
5-tert-butyl-2-[(propylamino)methyl]phenol,
5-tert-butyl-2-[(cyclopropylamino)methyl]phenol,
5-tert-butyl-2-[(1,2,3,4-tetrahydronaphthalen-1-ylamino)methyl]phenol,
2-[(cyclopropylamino)methyl]-5-(trichlorovinyl)phenol,
2-[(isopropylamino)methyl]-5-(trichlorovinyl)phenol,
2-[(1,2,3,4-tetrahydronaphthalen-1-ylamino)methyl]-5-(trichlorovinyl)phenol,
2-[(2,3-dihydro-1H-inden-1-ylamino)methyl]-5-(trichlorovinyl)phenol,
3,5-di-tert-butyl-2-({[(1R)-1-phenylethyl]amino}methyl)phenol,
3,5-di-tert-butyl-2-({[(1-naphthyl)methyl]amino}methyl)phenol,
3,5-di-tert-butyl-2-({[(2-naphthyl)methyl]amino}methyl)phenol,
2-[(tert-butylamino)methyl]-5-(trichlorovinyl)phenol,
3,5-di-tert-butyl-2-[(1,2,3,4-tetrahydronaphthalen-2-ylamino)methyl]phenol,
2-[(cyclohexylamino)methyl]-3-(trichlorovinyl)phenol,
3,5-di-tert-butyl-2-[(2,3-dihydro-1H-inden-2-ylamino)methyl]phenol,
3,5-di-tert-butyl-2-({[(1R)-2,3-dihydro-1H-inden-1-ylamino]methyl}phenol,
3,5-di-tert-butyl-2-({[(1S)-2,3-dihydro-1H-inden-1-ylamino]methyl}phenol,
5-tert-butyl-2-[(2,3-dihydro-1H-inden-2-ylamino)methyl]phenol,
5-tert-butyl-2-({[(4-methoxybenzyl)amino]methyl}phenol,
5-tert-butyl-2-[(neopentylamino)methyl]phenol,
5-tert-butyl-2-({[(3,3-dimethylbutyl)amino]methyl}phenol,
5-tert-butyl-2-[2-(cyclohexylamino)ethyl]phenol,
5-tert-butyl-2-[(2,3-dihydro-1H-inden-1-ylamino)methyl]phenol,
5-tert-butyl-2-[(isopropylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(decahydronaphthalen-2-ylamino)methyl]phenol,
5-tert-butyl-2-[(isopentylamino)methyl]phenol,
5-tert-butyl-2-[(isobutylamino)methyl]phenol,
5-tert-butyl-2-(piperidin-1-ylmethyl)phenol,

3,5-di-*tert*-butyl-2-[[*(2*-pyridin-2-ylethyl)amino]methyl]phenol,
3,5-di-*tert*-butyl-2-[[*(2*-pyridin-3-ylethyl)amino]methyl]phenol,
3,5-di-*tert*-butyl-2-[[*(2*-pyridin-4-ylethyl)amino]methyl]phenol,
3,5-di-*tert*-butyl-2-[(tetrahydro-2H-pyran-4-ylamino)methyl]phenol,
3,5-di-*tert*-butyl-2-[[*(cyclohexylmethyl)*amino]methyl]phenol,
2-(aminomethyl)-3,5-di-*tert*-butylphenol,
5-*tert*-butyl-2-[[*(3*-methoxybenzyl)amino]methyl]phenol,
2-(aminomethyl)-4-bromo-5-*tert*-butylphenol,
ethyl N-(2,4-ditert-butyl-6-hydroxybenzyl)-beta-alaninate,
3-*tert*-butyl-2-(piperidin-1-ylmethyl)phenol,
3-*tert*-butyl-2-[(cyclohexylamino)methyl]phenol,
2-[2-(cyclohexylamino)ethyl]-5-(trichlorovinyl)phenol,
3-*tert*-butyl-2-[2-(cyclohexylamino)ethyl]phenol,
3,5-di-*tert*-butyl-2-[[*(2*-tetrahydro-2H-pyran-2-ylmethyl)amino]methyl]phenol,
3,5-di-*tert*-butyl-2-[2-(cyclohexylamino)ethyl]phenol,
3,5-di-*tert*-butyl-2-[[*(3*-furylmethyl)amino]methyl]phenol,
2-[2-(benzylamino)ethyl]-3,5-ditert-butylphenol,
2-(Aminomethyl)-3-*tert*-butyl-5-methylphenol,
3-(Aminomethyl)-4,6-di-*tert*-butyl-4'-chlorobiphenyl-2-ol,
4,6-Di-*tert*-butyl-3-[[*(tert*-butylamino)methyl]-4'-chlorobiphenyl-2-ol,
4,6-di-*Tert*-butyl-3-[(butylamino)methyl]-4'-chlorobiphenyl-2-ol,
4,6-Di-*tert*-butyl-4'-chloro-3-[(dimethylamino)methyl]biphenyl-2-ol,
4,6-Di-*tert*-butyl-4'-chloro-3-[(diethylamino)methyl]biphenyl-2-ol,
5-*Tert*-butyl-2-[2-(dimethylamino)ethyl]phenol,
5-*Tert*-butyl-2-[2-(methylamino)ethyl]phenol,
2-(2-Aminoethyl)-3,5-di-*tert*-butylphenol,
2-(Aminomethyl)-5-*tert*-butyl-3-methylphenol,
2-(Aminomethyl)-3,5-bis(trichlorovinyl)phenol,
2-(Aminomethyl)-5-(trichlorovinyl)phenol,
3,5-Di-*tert*-butyl-2-[[*(1,4*-dioxan-2-ylmethyl)amino]methyl]phenol,
3,5-di-*tert*-butyl-2-([[(*(1,1*-dioxidotetrahydro-3-thienyl)methyl]amino)methyl]phenol,
5-[[*(2,4*-di-*tert*-butyl-6-hydroxybenzyl)amino]methyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one,
2-(1-Aminoethyl)-3,5-di-*tert*-butylphenol,
3,5-Di-*tert*-butyl-2-[1-(ethylamino)ethyl]phenol,
3,5-Di-*tert*-butyl-2-[(propylamino)methyl]phenol,
3,5-Di-*tert*-butyl-2-[[*(pyrazin-2-ylmethyl)*amino]methyl]phenol,

2-(aminomethyl)-3,5-di-*tert*-butylphenol hydrochloride,
2-Aminomethyl-5-*tert*-butylphenol hydrochloride,
and pharmaceutically acceptable salts thereof.

11. (original) A method according to claim 9 wherein a second anti-malarial agent is administered said second anti-malarial agent selected from the group consisting of Chloroquine, Fansidar, Amodiaquine, Quinine, Halofantrine, Mefloquine, Artemether/Artesunate and Malarone.

12. (new) A method according to claim 9, wherein in the compound of formula I or a pharmaceutically acceptable salt thereof, R^{1a} and R¹ independently are hydrogen, *tert*-butyl, 1,2,2-trichlorovinyl, or phenyl.

13. (new) A method according to claim 9, wherein in the compound of formula I or a pharmaceutically acceptable salt thereof, R² is hydrogen or C₁₋₄ alkyl; and n is 1.

14. (new) A method according to claim 9, wherein in the compound of formula I or a pharmaceutically acceptable salt thereof, R^{1a} and R¹ independently are hydrogen, *tert*-butyl, 1,2,2-trichlorovinyl, or phenyl; R² is hydrogen or C₁₋₄ alkyl; and n is 1.

15. (new) A method according to claim 14, wherein in the compound of formula I or a pharmaceutically acceptable salt thereof, R^{1a} and R¹ are *tert*-butyl; and R² is hydrogen.

16. (new) A method according to claim 15, wherein the compound of formula I is 2-(aminomethyl)-3,5-di-*tert*-butylphenol or a pharmaceutically acceptable salt thereof.